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   (FILE 'HOME' ENTERED AT 13:22:32 ON 24 JAN 2007)

     FILE 'REGISTRY' ENTERED AT 13:24:33 ON 24 JAN 2007
L1              STRUC
L2            2 S L1
L3          173 S L1 FUL
L4              STRUC
L5            0 S L4
L6           39 S L4 FUL

     FILE 'CAPLUS' ENTERED AT 13:29:34 ON 24 JAN 2007

=> s l3
L7           26 L3

=> s l6
L8           16 L6

=> s (l7 or l8) and inflamma?
        265899 INFLAMMA?
L9           15 (L7 OR L8) AND INFLAMMA?

=> d bib abs hitstr 15

L9   ANSWER 15 OF 15  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   1999:282215  CAPLUS
DN   130:325138
TI   Preparation of pyrrolopyridines, furopyridines, and related compounds as
     p-38 MAP kinase inhibitors.
IN   Cheng, Soan; Goldstein, David Michael; Martin, Teresa Alejandra Trejo;
     Sjogren, Eric Brian
PA   F.Hoffmann-La Roche A.-G., Switz.
SO   PCT Int. Appl., 152 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
     ---------------     ----   --------    --------------------     --------
PI   WO 9920624           A1     19990429    WO 1998-EP6472           19981013
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, UZ, VN, YU, ZW
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2306870            A1     19990429    CA 1998-2306870          19981013
     AU 9897499            A      19990510    AU 1998-97499            19981013
     AU 745579             B2     20020321
     TR 200001079          T2     20000721    TR 2000-200001079        19981013
     BR 9812944            A      20000808    BR 1998-12944            19981013
     EP 1025102            A1     20000809    EP 1998-951516           19981013
     EP 1025102            B1     20040519
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     HU 200100348          A2     20010828    HU 2001-348              19981013
     JP 2001520227         T      20011030    JP 2000-516966           19981013
     JP 3579350            B2     20041020
     RU 2219178            C2     20031220    RU 2000-110738           19981013
     AT 267200             T      20040615    AT 1998-951516           19981013
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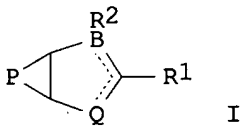
	ES 2221213	T3	20041216	ES 1998-951516	19981013
	US 6316464	B1	20011113	US 1998-174299	19981016
	ZA 9809529	A	19990420	ZA 1998-9529	19981019
	TW 224596	B	20041201	TW 1998-87117244	19981019
	HR 2000000209	A1	20010430	HR 2000-209	20000412
	NO 2000001940	A	20000413	NO 2000-1940	20000413
	NO 316734	B1	20040419		
	MX 200003810	A	20001113	MX 2000-3810	20000418
	US 2001044538	A1	20011122	US 2001-839712	20010419
	US 6479507	B2	20021112		
	US 2002013354	A1	20020131	US 2001-839710	20010419
	US 6630485	B2	20031007		
	US 2003139462	A1	20030724	US 2002-245906	20020917
PRAI	US 1997-62548P	P	19971020		
	US 1998-75515P	P	19980220		
	US 1998-96916P	P	19980818		
	WO 1998-EP6472	W	19981013		
	US 1998-174299	A3	19981016		
	US 2001-839712	A1	20010419		

OS MARPAT 130:325138

GI



I

AB Title compds. [I; R1 = heteroaryl; when the dotted line is a double bond between Q and CR1, then B = N, R2 = aryl, and Q = CR; R = H, alkyl, haloalkyl, cycloalkyl, NO2, cyano, amino, acylamino, etc.; when the dotted line = double bond between B and CR1, then B = C; R2 = aryl, heteroaryl; Q = imino, O, S; P = atoms to form (substituted) pyrido, pyridazino, pyrimidino, pyrazino rings], were prepared Thus, Me isonicotinate and 4-fluorophenylacetonitrile in EtOH were added to a solution prepared from EtOH and Na metal followed by 3 h reflux to give 2-(4-fluorophenyl)-1-(pyridin-4-yl)ethanone. The latter was azeotroped with 3-amino-2-chloropyridine and p-TsOH in PhMe to give (2-chloropyridin-3-yl)-[2-(4-fluorophenyl)-1-(pyridin-4-yl)vinyl]amine. This was heated with DABCO and (Ph3P)2PdCl2 in DMF to give 3-(4-fluorophenyl)-2-(pyridin-4-yl)-1H-pyrrolo[3,2-b]pyridine. Tested I inhibited p-38 kinase with IC50 = 68-246 nM.

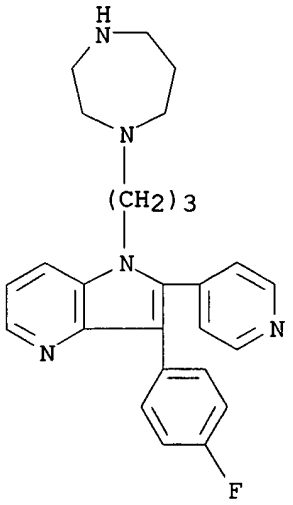
IT 223739-23-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyridines, furopyridines, and related compds. as p-38 MAP kinase inhibitors)

RN 223739-23-9 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine, 3-(4-fluorophenyl)-1-[3-(hexahydro-1H-1,4-diazepin-1-yl)propyl]-2-(4-pyridinyl)-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

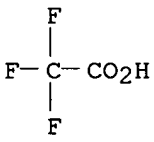
CM 1

CRN 223739-22-8
CMF C26 H28 F N5



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

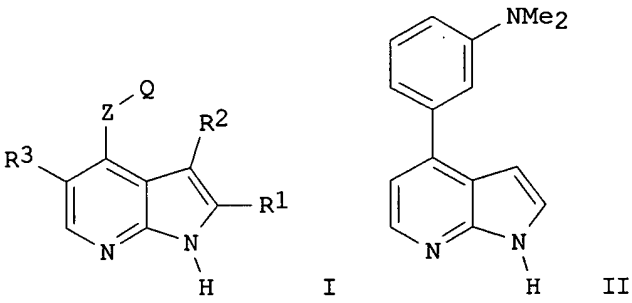
=> d bib abs hitstr 1-14

L9 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1252802 CAPLUS
DN 146:27814
TI Pyrrolopyridines useful as inhibitors of protein kinase and their preparation, pharmaceutical compositions, and use in the treatment of various diseases
IN Ledeboer, Mark W.; Wannamaker, Marion W.; Farmer, Luc J.; Wang, Tiansheng; Pierce, Albert C.; Martinez-Botella, Gabriel; Bethiel, Randy S.; Bemis, Guy W.; Wang, Jian; Salituro, Francesco G.; Arnost, Michael J.; Come, Jon H.; Green, Jeremy; Stewart, Michelle; Marhefka, Craig
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 201pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006127587	A1	20061130	WO 2006-US19711	20060522

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
PRAI US 2005-683554P P 20050520
GI

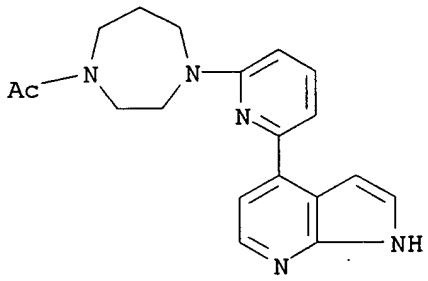


I II

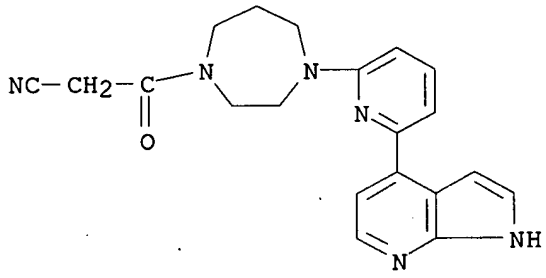
AB The invention relates to compds. of formula I, which are useful as inhibitors of protein kinases, particularly of JAK family and ROCK family kinases. The invention also provides pharmaceutically acceptable compns. comprising said compds. and methods of using the compns. in the treatment of various disease, conditions, or disorders. Compds. of formula I wherein Q is a (un)substituted (un)saturated 3- to 8-membered (hetero)monocyclic ring and (un)saturated 8- to 12-membered (hetero)bicyclic ring; Z is a bond, NH, C1-3 alkylamine, and C=CH2; R1 and R2 are independently (un)substituted C1-2 alkyl; R3 is H, Cn, NO2, (un)substituted C1-6 aliphatic; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by cross-coupling of 4-bromo-1-tosyl-1H-[2,3-b]pyridine with 3-dimethylaminophenylboronic acid derivative All the invention compds. were evaluated for their JAK and ROCK kinase inhibitory activity. From the kinase inhibition assay, it was determined that compound II exhibited Ki values of less than 0.5 μM against JAK2, JAK3 and ROCK-I.

IT 916172-18-4P 916172-19-5P 916172-76-4P
916174-29-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyridines as inhibitors of protein kinase useful in the treatment of various diseases)

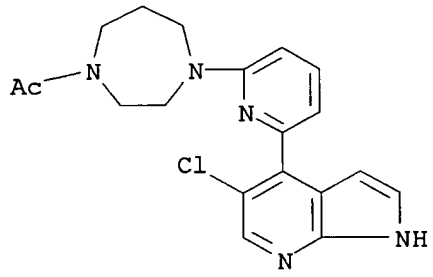
RN 916172-18-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 916172-19-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

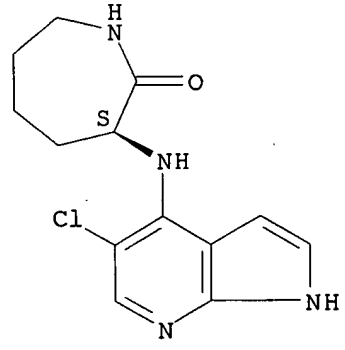


RN 916172-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 916174-29-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:117881 CAPLUS
DN 144:212758
TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase modulators
IN Arnold, William D.; Bounaud, Pierre; Gosberg, Andreas; Li, Zhe; McDonald, Ian; Steensma, Ruo W.; Wilson, Mark E.
PA SGX Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006015123	A1	20060209	WO 2005-US26792	20050727
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	US 2006030583	A1	20060209	US 2005-192341	20050727
PRAI	US 2004-591887P	P	20040727		
	US 2004-591888P	P	20040727		
	US 2005-683510P	P	20050519		

OS MARPAT 144:212758
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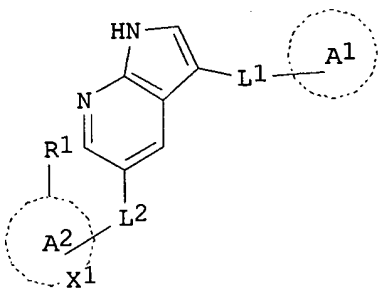

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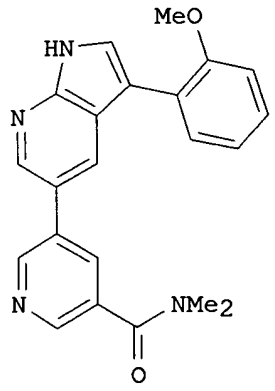


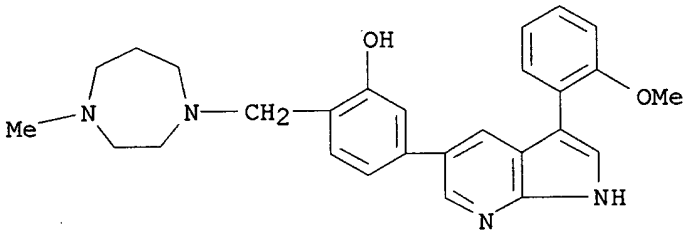
II

AB The title pyrrolo[2,3-b]pyridine derivs. I [wherein L1 and L2 = independently a bond, S, SO, SO2, O, NH, etc.; A1 = (un)substituted 6-membered (hetero)aryl; A2 = (un)substituted (hetero)cycloalkyl or (hetero)aryl; R1 = halo, CN, NO2, CF3, (un)substituted OH, NH2, etc.; X1 = S, O, (un)substituted -CH=, CH2, -N=, or NH] or pharmaceutically

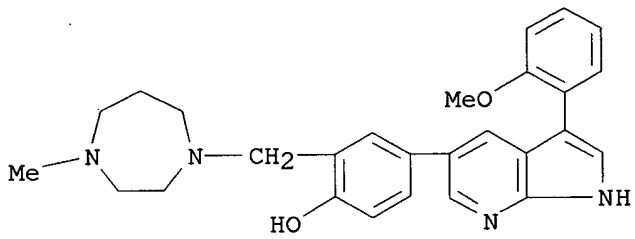
acceptable salts thereof were prepared as kinase modulators to treat diseases mediated by kinase activity. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed inhibitory activity with IC50 of <0.05 μM against Abl_T315.

IT 875636-30-9P 875636-37-6P 875636-46-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolo[2,3-b]pyridine derivs. as kinase modulators)

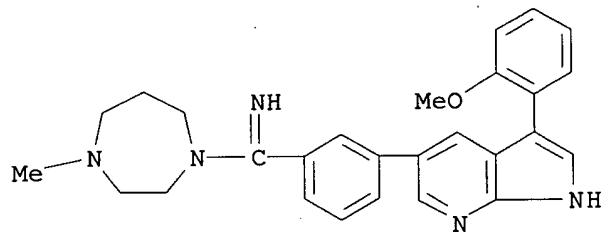
RN 875636-30-9 CAPLUS
CN Phenol, 2-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-5-[3-(2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)



RN 875636-37-6 CAPLUS
CN Phenol, 2-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-4-[3-(2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)



RN 875636-46-7 CAPLUS
CN 1H-1,4-Diazepine, hexahydro-1-[imino[3-[3-(2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]phenyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1170883 CAPLUS
DN 143:440389
TI Preparation of azaindoles as inhibitors of ROCK and other protein kinases

IN Green, Jeremy; Miller, Andrew; Jimenez, Juan-Miguel; Marhefka, Craig; Cao, Jingrong; Court, John J.; Bandarage, Upul K.; Gao, Huai; Nanthakumar, Suganthini

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 247 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005103050	A2	20051103	WO 2005-US11358	20050404
	WO 2005103050	A3	20061005		
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	AU 2005236002	A1	20051103	AU 2005-236002	20050404
	CA 2561724	A1	20051103	CA 2005-2561724	20050404
	WO 2006004984	A1	20060112	WO 2005-US23429	20050629
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	NO 2006004976	A	20061031	NO 2006-4976	20061031
PRAI	US 2004-559041P	P	20040402		
	US 2004-584383P	P	20040630		
	US 2004-584721P	P	20040701		
	US 2005-98751	A	20050404		
	WO 2005-US11358	W	20050404		

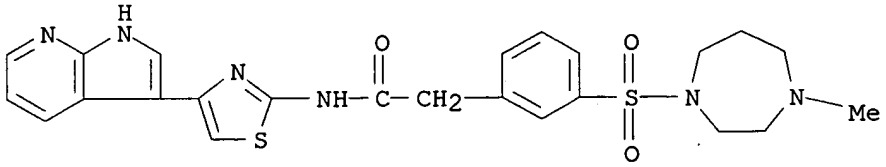
OS MARPAT 143:440389

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [B = thiadiazole, thiazole, etc.; n = 0-3; R1 = halo, CN, NO2, etc.; G = (un)substituted amino, CO; Q = CO, SO2, amido, etc.; R3 = Q2-Ar1; Q2 = alkylidene; Ar1 = alkyl, 3-8-membered (un)saturated (hetero)cyclic ring, etc.] are prepared For instance, 2-phenyl-N-[4-(1H-pyrrolo[2,3-b]pyridin-3-yl)thiazol-2-yl]acetamide (II) is prepared in 2 steps from 2-bromo-1-(1H-pyrrolo[2,3-b]pyridin-3-yl)ethanone, thiourea and phenylacetic acid. II exhibits an IC50 <0.5 μM for ROCK kinase. I are useful as antiproliferative agents.

IT 868384-81-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaindoles as inhibitors of ROCK and other protein kinases)
RN 868384-81-0 CAPLUS
CN Benzeneacetamide, 3-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)sulfonyl]-N-[4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1103779 CAPLUS
DN 143:387011
TI Preparation of azaindoles as inhibitors of JAK and other protein kinases
IN Salituro, Francesco; Farmer, Luc; Bethiel, Randy; Harrington, Edmund; Green, Jeremy; Court, John; Come, Jon; Lauffer, David; Aronov, Alex; Binch, Hayley; Boyall, Dean; Charrier, Jean-Damien; Everitt, Simon; Fraysse, Damien; Mortimore, Michael; Pierard, Francoise; Robinson, Daniel
PA Vertex Pharmaceuticals Incorporated, USA; et al.
SO PCT Int. Appl., 432 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005095400	A1	20051013	WO 2005-US10846	20050330
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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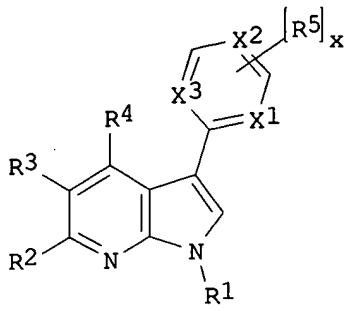
	AU 2005228904	A1	20051013	AU 2005-228904	20050330
	CA 2560454	A1	20051013	CA 2005-2560454	20050330
	EP 1730146	A1	20061213	EP 2005-756052	20050330
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	NO 2006004852	A	20061024	NO 2006-4852	20061024
PRAI	US 2004-557503P	P	20040330		
	US 2004-625599P	P	20041105		
	WO 2005-US10846	W	20050330		

OS MARPAT 143:387011
GI



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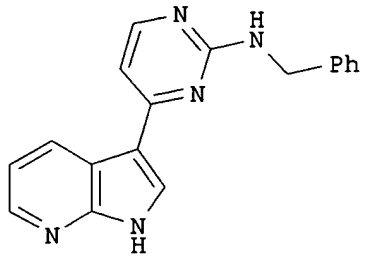


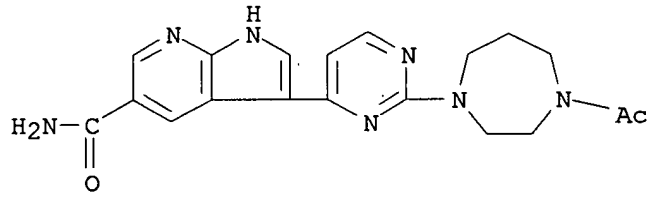
II

AB The title compds. I [R1 = TR', Si(R')3; R2-R4 = halo, CN, NO2, etc.; X1-X3 = N, CH (wherein the hydrogen atom of CH is optionally replaced by R5); x = 1-4; R5 = halo, CN, NO2, etc.; T = a bond, alkylidene, etc.; R' = H, alkyl, (hetero)cyclyl, etc.; with provisos] which are inhibitors of protein kinases, were prepared E.g., a multi-step synthesis of II, starting with 7-azaindole, was given. The compds. I were tested against JAK2, JAK3, ROCK and Aurora kinases (data given). The invention also provides pharmaceutical compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

IT 866540-48-9P 866540-52-5P 866540-56-9P
866540-63-8P 866540-90-1P 866540-97-8P
866540-98-9P 866541-00-6P 866541-01-7P
866541-07-3P 866541-16-4P 866541-22-2P
866541-50-6P 866541-51-7P 866541-79-9P
866541-91-5P 866541-92-6P 866541-94-8P
866542-06-5P 866542-07-6P 866542-08-7P
866542-09-8P 866542-17-8P 866542-19-0P
866545-43-9P 866545-53-1P 866545-54-2P
866545-76-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaindoles as inhibitors of JAK and other protein kinases)

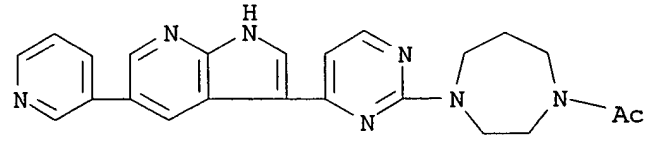
RN 866540-48-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 3-[2-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

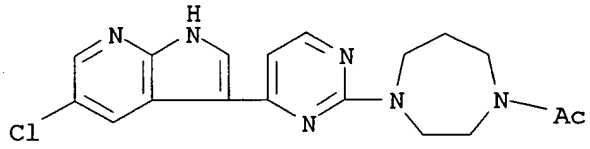


RN 866540-52-5 CAPLUS

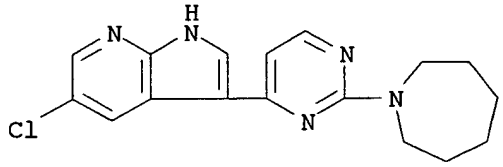
CN 1H-1,4-Diazepine, 1-acetylhexahydro-4-[4-[5-(3-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



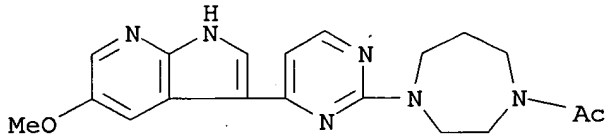
RN 866540-56-9 CAPLUS
CN 1H-1,4-Diazepine, 1-acetyl-4-[4-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]hexahydro- (9CI) (CA INDEX NAME)



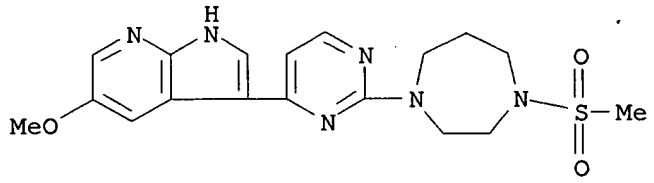
RN 866540-63-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 5-chloro-3-[2-(hexahydro-1H-azepin-1-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



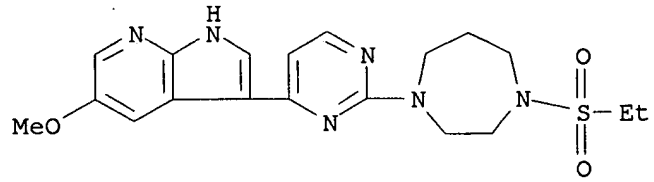
RN 866540-90-1 CAPLUS
CN 1H-1,4-Diazepine, 1-acetylhexahydro-4-[4-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



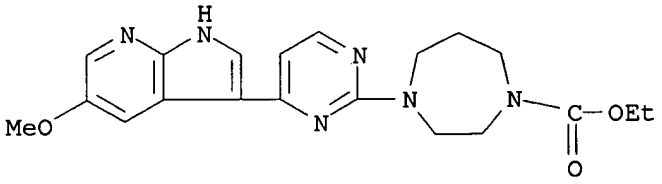
RN 866540-97-8 CAPLUS
CN 1H-1,4-Diazepine, hexahydro-1-[4-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



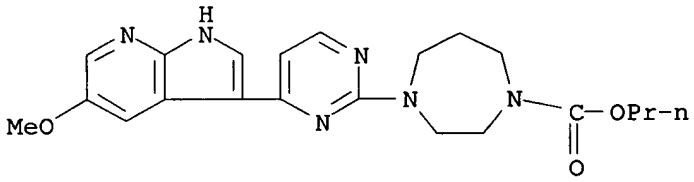
RN 866540-98-9 CAPLUS
CN 1H-1,4-Diazepine, 1-(ethylsulfonyl)hexahydro-4-[4-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



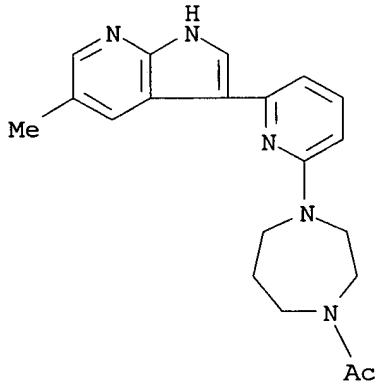
RN 866541-00-6 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[4-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



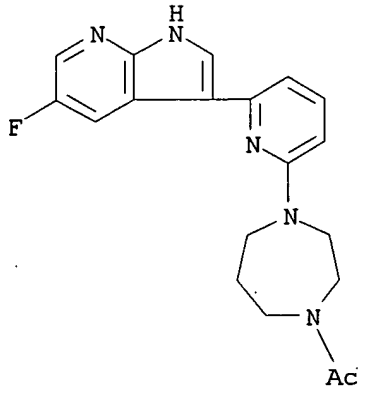
RN 866541-01-7 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[4-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]-, propyl ester (9CI) (CA INDEX NAME)



RN 866541-07-3 CAPLUS
CN 1H-1,4-Diazepine, 1-acetylhexahydro-4-[6-(5-methyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

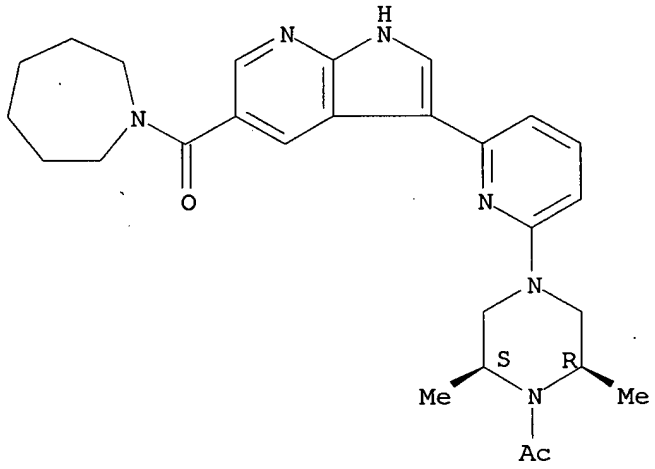


RN 866541-16-4 CAPLUS
CN 1H-1,4-Diazepine, 1-acetyl-4-[6-(5-fluoro-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyridinyl]hexahydro- (9CI) (CA INDEX NAME)

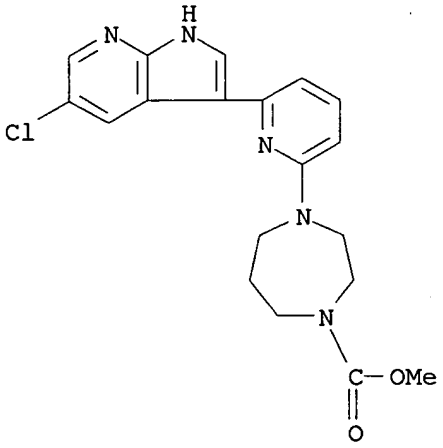


RN 866541-22-2 CAPLUS
CN 1H-Azepine, 1-[[3-[6-[(3R,5S)-4-acetyl-3,5-dimethyl-1-piperazinyl]-2-pyridinyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]hexahydro-, rel- (9CI) (CA INDEX NAME)

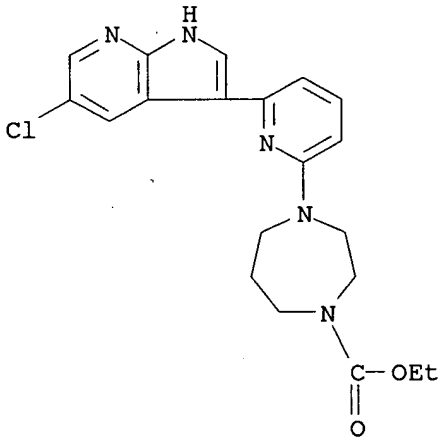
Relative stereochemistry.



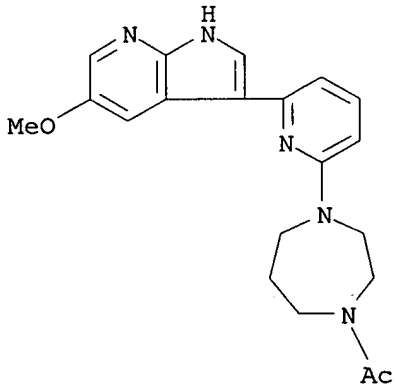
RN 866541-50-6 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyridinyl]hexahydro-, methyl ester (9CI) (CA INDEX NAME)



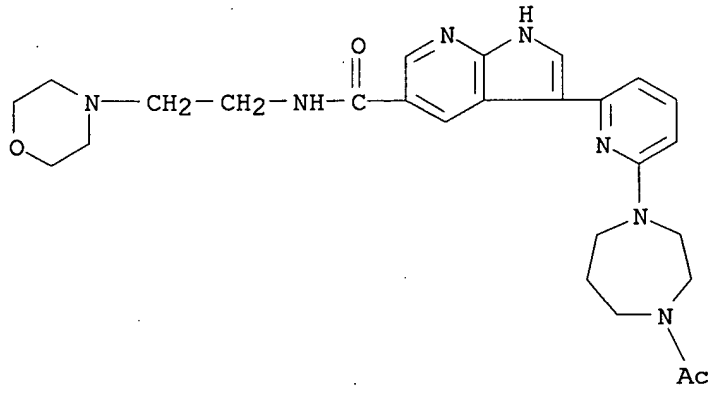
RN 866541-51-7 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[6-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyridinyl]hexahydro-, ethyl ester (9CI) (CA INDEX NAME)



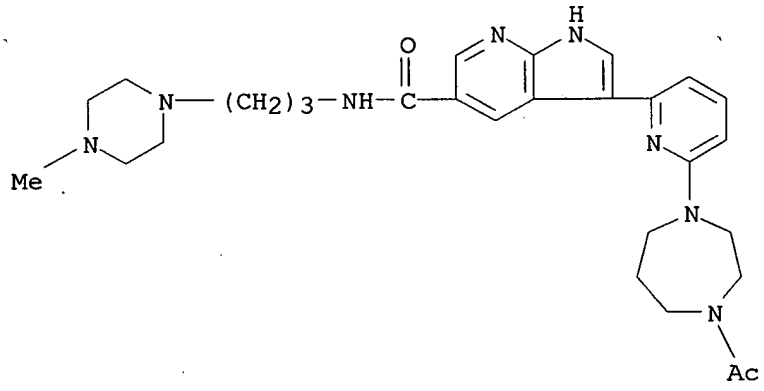
RN 866541-79-9 CAPLUS
CN 1H-1,4-Diazepine, 1-acetylhexahydro-4-[6-(5-methoxy-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



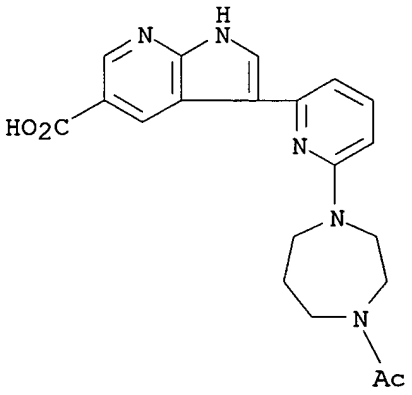
RN 866541-91-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 3-[6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-2-pyridinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



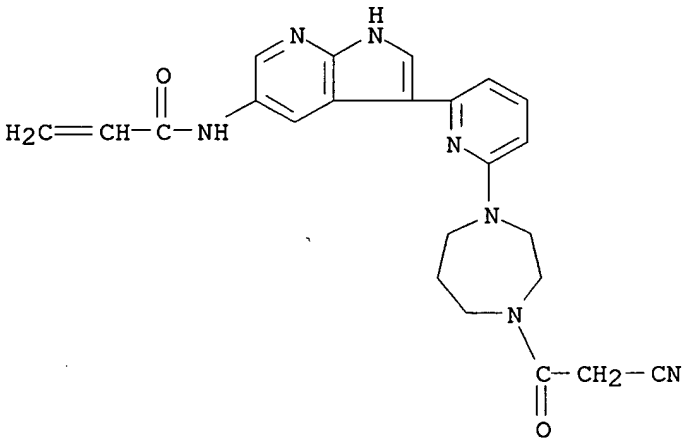
RN 866541-92-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 3-[6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-2-pyridinyl]-N-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)



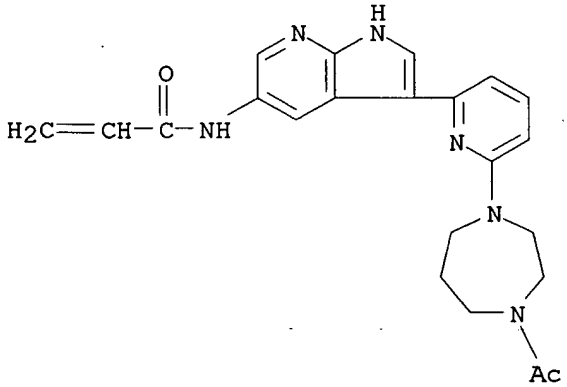
RN 866541-94-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 3-[6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 866542-06-5 CAPLUS
CN 2-Propenamide, N-[3-[6-[4-(cyanoacetyl)hexahydro-1H-1,4-diazepin-1-yl]-2-pyridinyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)

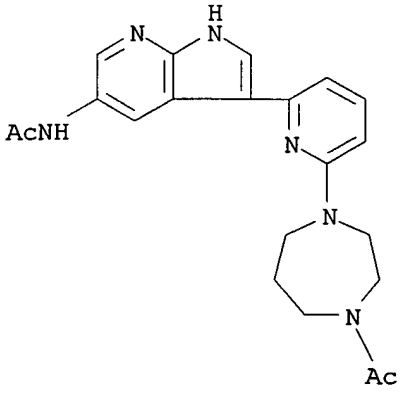


RN 866542-07-6 CAPLUS
CN 2-Propenamide, N-[3-[6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-2-pyridinyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)

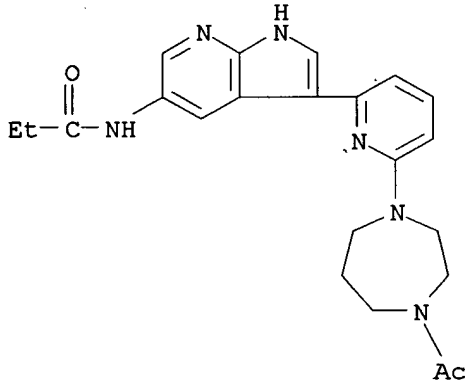


RN 866542-08-7 CAPLUS
CN Acetamide, N-[3-[6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-2-pyridinyl]-

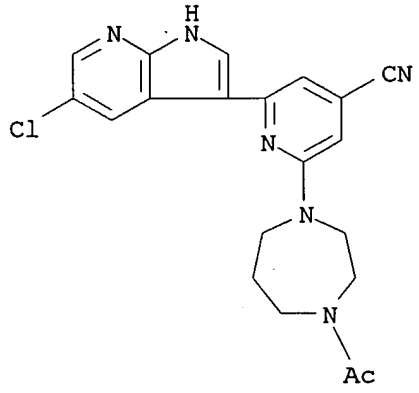
1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)



RN 866542-09-8 CAPLUS
CN Propanamide, N-[3-[6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-2-pyridinyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)

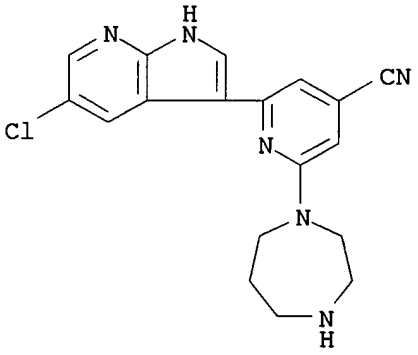


RN 866542-17-8 CAPLUS
CN 1H-1,4-Diazepine, 1-acetyl-4-[6-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-4-cyano-2-pyridinyl]hexahydro- (9CI) (CA INDEX NAME)

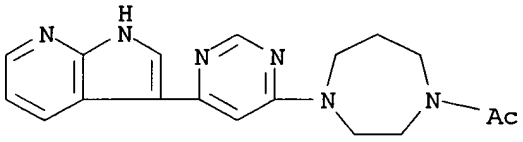


RN 866542-19-0 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)-6-(hexahydro-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)



RN 866545-43-9 CAPLUS
CN 1H-1,4-Diazepine, 1-acetylhexahydro-4-[6-(1H-pyrrolo[2,3-b]pyridin-3-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



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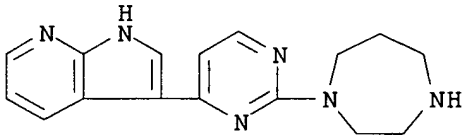
CN 1H-Pyrrolo[2,3-b]pyridine, 3-[2-(hexahydro-1H-1,4-diazepin-1-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



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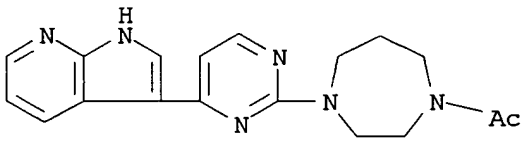
CN 1H-1,4-Diazepine, 1-acetylhexahydro-4-[4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



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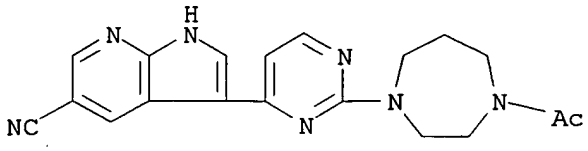
CN 1H-1,4-Diazepine, 1-acetyl-4-[4-(5-cyano-1H-pyrrolo[2,3-b]pyridin-3-yl)-2-pyrimidinyl]hexahydro- (9CI) (CA INDEX NAME)

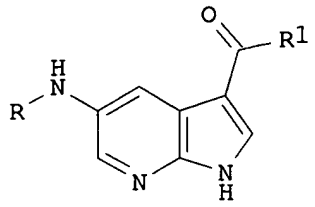


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

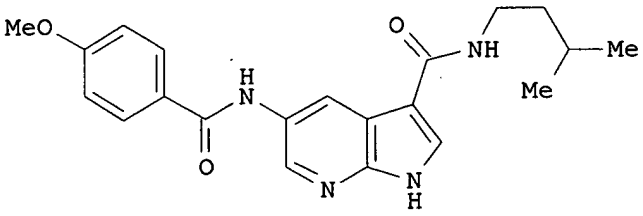
L9 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1037098 CAPLUS
DN 143:347150
TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase inhibitors
IN Salom, Barbara; D'Anello, Matteo; Brasca, Maria Gabriella; Giordano, Patrizia; Martina, Katia; Angelucci, Francesco; Brookfield, Frederick Arthur; Trigg, William John; Boyd, Edward Andrew; Larard, Jonathan Anthony
PA Pharmacia Italia S.p.A., Italy
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005063746	A1	20050714	WO 2004-XC14674	20041223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	WO 2005063746	A1	20050714	WO 2004-EP14674	20041223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2003-30043	A	20031224		
	WO 2004-EP14674	A	20041223		

GI



I



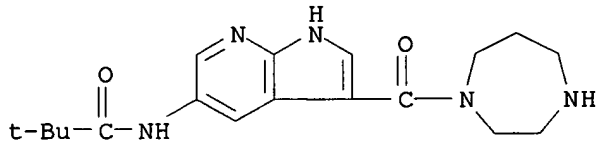
II

AB The title compds. [I; R = Ra, CORa, CONRaRb, SO2Ra, CO2Ra; R1 = NRcRd, ORc; Ra, Rb, Rc and Rd = H, alkyl, cycloalkyl, etc.] and pharmaceutically acceptable salts thereof together with pharmaceutical compns. comprising them, as well as combinatorial libraries of compds. I, are disclosed. Preparation of compds. I is described in eleven synthetic examples. E.g., a multi-step synthesis of II, starting from 5-nitro-1H-pyrrolo[2,3-b]pyridine-3-carboxylic acid and isoamylamine-bearing resin, was given. The compds. I or compns. comprising them may be useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity (no biol. data given) such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders. Also disclosed is a process under SPS conditions for preparing the compds. I and chemical libraries comprising a plurality of them. This is a Part IV of I-IV series.

IT 865849-39-4P 865849-44-1P 865849-63-4P
865849-79-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolo[2,3-b]pyridine derivs. as kinase inhibitors)

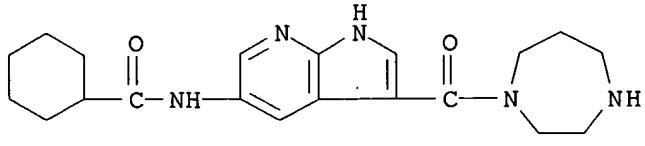
RN 865849-39-4 CAPLUS

CN Propanamide, N-[3-[(hexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

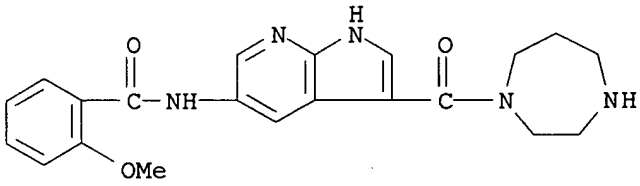


RN 865849-44-1 CAPLUS

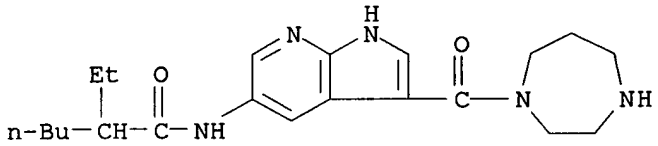
CN Cyclohexanecarboxamide, N-[3-[(hexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)



RN 865849-63-4 CAPLUS
CN Benzamide, N-[3-[(hexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 865849-79-2 CAPLUS
CN Hexanamide, 2-ethyl-N-[3-[(hexahydro-1H-1,4-diazepin-1-yl)carbonyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]- (9CI) (CA INDEX NAME)



L9 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:347018 CAPLUS
DN 142:392302
TI Preparation of thienopyridine derivatives as inhibitors of IκB kinase complex
IN Liu, Weimin; Hickey, Eugene Richard; Cywin, Charles Lawrence; Fleck, Roman Wolfgang; Spero, Denice M.; Morwick, Tina Marie; Proudfoot, John Robert
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005035537	A2	20050421	WO 2004-US33535	20041008
	WO 2005035537	A3	20050609		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005101601	A1	20050512	US 2004-960550	20041007
	CA 2542008	A1	20050421	CA 2004-2542008	20041008
	EP 1673374	A2	20060628	EP 2004-817188	20041008
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRAI	US 2003-510160P	P	20031010		
	WO 2004-US33535	W	20041008		

OS MARPAT 142:392302

GI

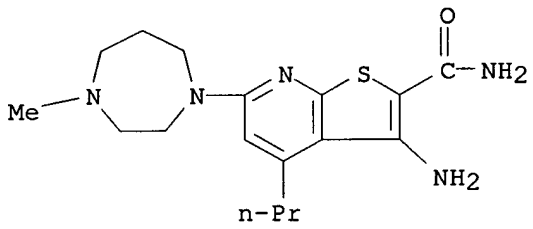
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = nitrogen heterocycle containing 1-3 N atoms; n = 0 or 1; R1 = (un)substituted-Ph, -heteroaryl, -heterocycle, etc.; R2 = hydroxyalkyl, hydroxyalkylamino, alkoxyalkylamino, etc.; R3 = -N(R5)(R6); R4 = H, NH2; R5 and R6 independently = H, benzyl, piperidinyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of IκB kinase complex. Thus, e.g., II was prepared by a concurrent substitution of III (preparation given) with 1-methylhomopiperazine and an intramol. cyclization involving the cyano and cyanomethylsulfanyl substituents providing the 3-amino-2-cyanothieno[2,3-b]pyridine core which undergoes cyclocondensation with formamide to provide II. The inhibitory activity of I was evaluated and revealed that all compds. of the invention had IC50 values towards IKKα of below 25 μM. I as inhibitors of IκB kinase complex should prove useful in the treatment of, but not limited to, inflammatory, immunol. and allergic disorders.

IT 635729-15-6P 850180-38-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienopyridine derivs. as inhibitors of IκB kinase complex)

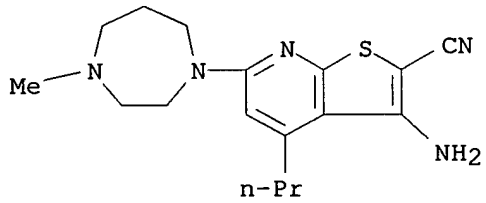
RN 635729-15-6 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



RN 850180-38-0 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonitrile, 3-amino-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



L9 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:281803 CAPLUS

DN 142:355158

TI preparation of pyrroline derivatives as protein kinase inhibitors

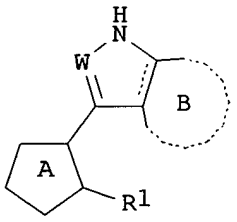
IN Aronov, Alex; Lauffer, David J.; Li, Pan; Tomlinson, Ronald C.

PA Vertex Pharmaceuticals Incorporated, USA

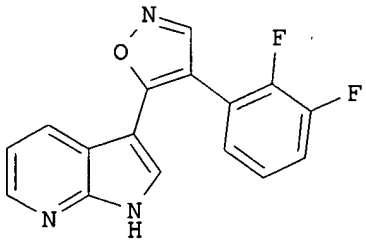
SO PCT Int. Appl., 276 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005028475	A2	20050331	WO 2004-US29094	20040907
WO 2005028475	A3	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004274404	A1	20050331	AU 2004-274404	20040907
CA 2537731	A1	20050331	CA 2004-2537731	20040907
US 2005137201	A1	20050623	US 2004-936470	20040907
EP 1664043	A2	20060607	EP 2004-788606	20040907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRAI US 2003-500199P	P	20030904		
US 2003-527907P	P	20031208		
WO 2004-US29094	W	20040907		

OS MARPAT 142:355158
GI



I



II

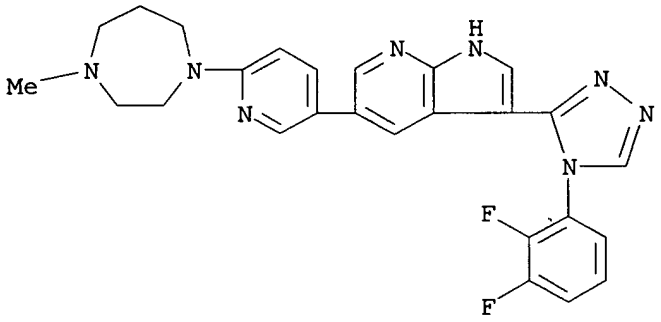
AB Title compds. I [W = CH, N; B = (un)substituted 5-6 membered heteroaryl ring with 0-3 heteroatoms independently selected from N, O, S; R1 = (un)substituted 6-membered aryl ring with 0-3 N-atoms; A = (un)substituted

5-membered heterocycle with 2-4 heteroatoms selected independently from O, N, S] and their pharmaceutically acceptable salts, are prepared and disclosed as useful for inhibition of protein kinase activity. Thus, e.g., II was prepared by Friedel Craft's acylation of 7-azaindole with (2,3-difluorophenyl)acetyl chloride followed by treatment with Bredereck's reagent and subsequent cyclization. The inhibitory ability of I towards different protein kinases was evaluated using a variety of inhibition assays, which revealed ki values in the range of less than 0.2 μM up to 12.5 μM. I as protein kinase inhibitors should prove useful in the treatment of diseases including, but not limited to cancer, inflammation, blood disorders and diabetes.

IT 849068-83-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrroline derivs. as protein kinase inhibitors)

RN 849068-83-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 3-[4-(2,3-difluorophenyl)-4H-1,2,4-triazol-3-yl]-5-[6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:220130 CAPLUS

DN 142:297989

TI Preparation of substituted indoles as inhibitors of poly(ADP-ribose) polymerase (PARP)

IN Jiang, John Z.; Koehl, Jack Roger; Mehdi, Shujaath; Moorcroft, Neil David; Musick, Kwon Yon; Weintraub, Philip Marvin; Eastwood, Paul Robert

PA Aventis Pharmaceuticals Inc., USA

SO U.S. Pat. Appl. Publ., 51 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005054631	A1	20050310	US 2004-933098	20040901
	AU 2004270187	A1	20050317	AU 2004-270187	20040901
	CA 2537097	A1	20050317	CA 2004-2537097	20040901
	WO 2005023246	A1	20050317	WO 2004-US28543	20040901

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1663202 A1 20060607 EP 2004-782937 20040901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

BR 2004014136 A 20061031 BR 2004-14136 20040901

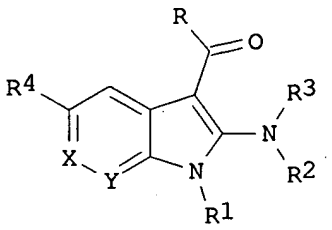
CN 1870991 A 20061129 CN 2004-80030741 20040901

PRAI US 2003-500039P P 20030904

WO 2004-US28543 W 20040901

OS CASREACT 142:297989; MARPAT 142:297989

GI



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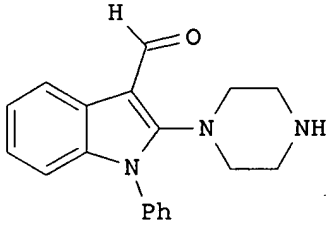


II

AB Title compds. I [wherein R = H, OH, alkoxy or amino; R1 = (un)substituted alkyl, (hetero)aryl or arylsulfonyl; R2, R3 = H, (un)substituted alkyl or heterocyclyl; R2 and R3 may link together to form a ring; R4 = alkyl, fluoroalkyl or fluoroalkoxy; X, Y = CH or N; and enantiomers, stereoisomers, tautomers, pharmaceutically acceptable salts, solvates or derivs. thereof] were prepared as inhibitors of poly(ADP-ribose) polymerase (PARP). For instance, condensation of 2-chloro-1-phenyl-1H-indole-3-carboxaldehyde, which was synthesized from 1-phenyl-1H-indole-2-one (39% yield), with piperazine gave II (36% yield). This compound had IC50 of 2.5 μM for partially purified recombinant human PARP. It was also found to be active in other biol. assays. Therefore, I and pharmaceutical compns. thereof are useful in the treatment and/or prevention of a variety of diseases, including those associated with the central nervous system and cardiovascular disorders.

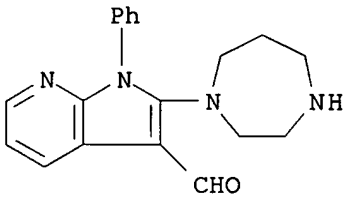
IT 847802-71-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation of substituted indoles as inhibitors of poly(ADP-ribose) polymerase (PARP))

RN 847802-71-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxaldehyde, 2-(hexahydro-1H-1,4-diazepin-1-yl)-1-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

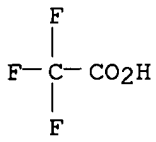
CM 1

CRN 847802-70-4
CMF C19 H20 N4 O

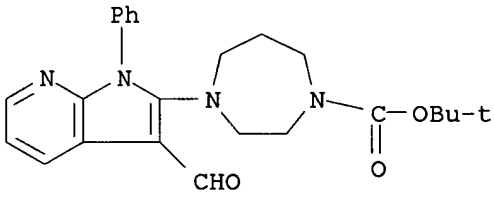


CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT 847802-72-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles as inhibitors of poly(ADP-ribose) polymerase (PARP))
RN 847802-72-6 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-(3-formyl-1-phenyl-1H-pyrrolo[2,3-b]pyridin-2-yl)hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:142902 CAPLUS
DN 140:187404
TI Electrospun amorphous pharmaceutical compositions
IN Ignatious, Francis; Sun, Linghong
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014304	A2	20040219	WO 2003-US24641	20030807
	WO 2004014304	A3	20040624		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,

PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA	2494865	A1	20040219	CA 2003-2494865	20030807
AU	2003258120	A1	20040225	AU 2003-258120	20030807
EP	1534250	A2	20050601	EP 2003-784959	20030807
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR	2003013222	A	20050614	BR 2003-13222	20030807
CN	1684673	A	20051019	CN 2003-823237	20030807
JP	2005534716	T	20051117	JP 2004-527797	20030807
US	2006013869	A1	20060119	US 2005-523835	20050207
US	2006083784	A1	20060420	US 2005-64890	20050224
NO	2005001123	A	20050506	NO 2005-1123	20050302
PRAI US	2002-401726P	P	20020807		
WO	2003-US24641	W	20030807		
US	2005-523835	A2	20050207		

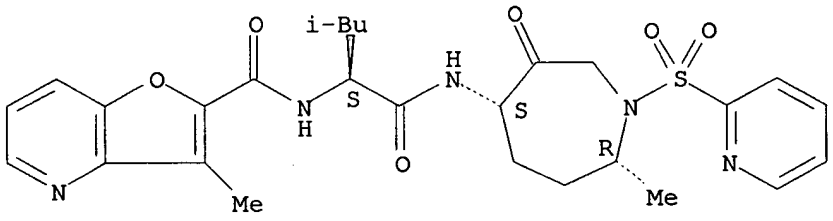
AB The present invention is directed to use of electrospinning, i.e. the process of making polymer nanofibers from either a solution or melt under elec. forces, to prepare stable, solid dispersions of amorphous drugs in polymer nanofibers. Thus, carvedilol-HBr monohydrate wa dissolved in THF and water. The solution was added to Polyox WSR1105 in MeCN solution This solution was spun to give nanofibers, and the morphol. of the drug was shown to be amorphous.

IT 362505-94-0
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(electrospun amorphous pharmaceutical compns.)

RN 362505-94-0 CAPLUS

CN Furo[3,2-b]pyridine-2-carboxamide, N-[(1S)-1-[[[(4S,7R)-hexahydro-7-methyl-3-oxo-1-(2-pyridinylsulfonyl)-1H-azepin-4-yl]amino]carbonyl]-3-methylbutyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:991342 CAPLUS

DN 140:42161

TI Preparation of substituted 3-amino-thieno[2,3-b]pyridine-2-carboxylic acid amide compounds and processes for preparing and their uses as inhibitors of IκB kinase complex

IN Cywin, Charles L.; Chen, Zhidong; Emeigh, Jonathan; Fleck, Roman Wolfgang; Hao, Ming-hong; Hickey, Eugene; Liu, Weimin; Marshall, Daniel Richard; Morwick, Tina; Nemoto, Peter; Sorcek, Ronald John; Sun, Sanxing; Wu, Jiang-ping

PA Boehringer Ingelheim Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 165 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103661	A1	20031218	WO 2003-US17343	20030603
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	AU 2003237330	A1	20031222	AU 2003-237330	20030603
	US 2004053957	A1	20040318	US 2003-453175	20030603
	US 6964956	B2	20051115		
	BR 2003011605	A	20050222	BR 2003-11605	20030603
	EP 1513516	A1	20050316	EP 2003-736796	20030603
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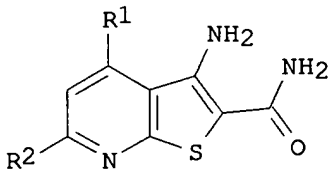
	CN 1649581	A	20050803	CN 2003-809958	20030603
	JP 2005530816	T	20051013	JP 2004-510780	20030603
	US 2004180922	A1	20040916	US 2003-730172	20031206
	US 6974870	B2	20051213		
	IN 2004DN03224	A	20050401	IN 2004-DN3224	20041019
	NO 2004004599	A	20050216	NO 2004-4599	20041025
	US 2005288285	A1	20051229	US 2005-206707	20050818
PRAI	US 2002-386312P	P	20020606		
	US 2003-457867P	P	20030326		
	US 2003-453175	A1	20030603		
	WO 2003-US17343	W	20030603		

OS MARPAT 140:42161
GI



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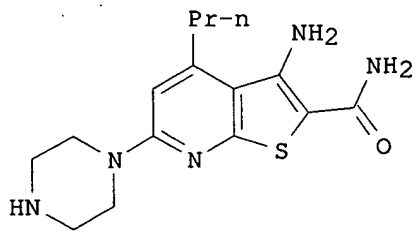


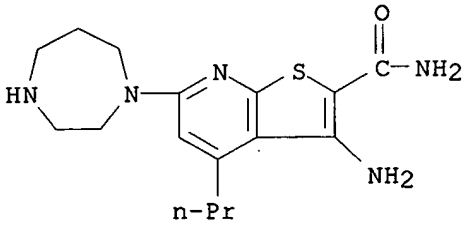
II

AB Title compds. I [R1 = (un)substituted-Ph, -heteroaryl, -heterocyclyl, -alkyl, -alkoxy, etc.; R2 = (un)substituted-alkyl, -alkoxy, -alkylamino, -alkylthio, -Ph, -heterocyclyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of the kinase activity of the IκB kinase (IKK) complex. Thus, e.g., II was prepared in five steps by cyclization of Me 2-hexynoate with 2-cyanothioacetamide in the presence of morpholine to provide intermediate mercaptopyridone which is S-alkylated with 2-bromoacetamide, converted to the O-triflate derivative, reacted with 1-BOC-piperazine and deprotected. I possessed IC50's of 10 μM or below in assays for inhibition of IKKβ. The compds. are therefore useful in the treatment of IKK mediated diseases including

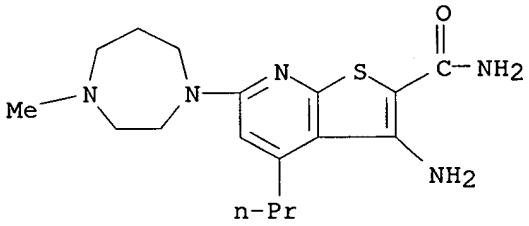
autoimmune diseases, inflammatory diseases and cancer. Also disclosed are pharmaceutical compns. comprising these compds. and processes for preparing these compds.

IT 635729-12-3P 635729-15-6P 635729-20-3P
635729-22-5P 635729-29-2P 635729-30-5P
635729-60-1P 635729-61-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted 3-amino-thieno[2,3-b]pyridine-2-carboxylic acid amide compds. as inhibitors of IκB kinase complex)

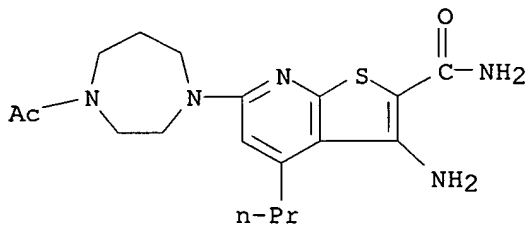
RN 635729-12-3 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-(hexahydro-1H-1,4-diazepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



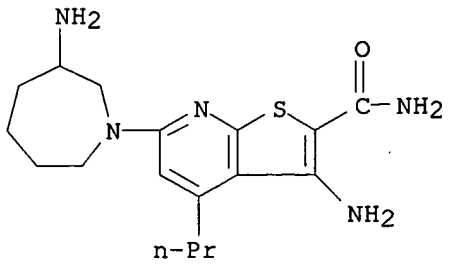
RN 635729-15-6 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



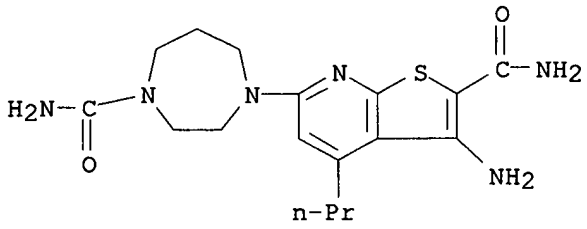
RN 635729-20-3 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 6-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-3-amino-4-propyl- (9CI) (CA INDEX NAME)



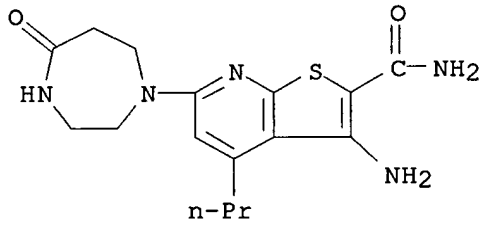
RN 635729-22-5 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-(3-aminohexahydro-1H-azepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



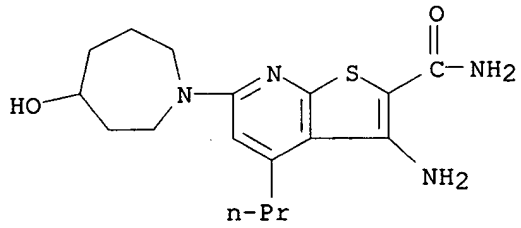
RN 635729-29-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-[4-(aminocarbonyl)hexahydro-1H-1,4-diazepin-1-yl]-4-propyl- (9CI) (CA INDEX NAME)



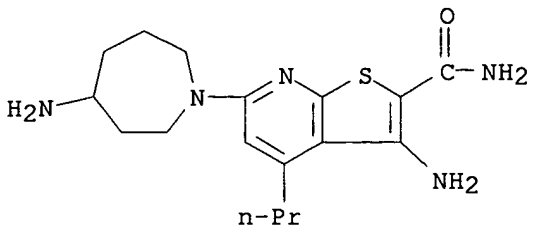
RN 635729-30-5 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



RN 635729-60-1 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-(hexahydro-4-hydroxy-1H-azepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



RN 635729-61-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-(4-aminohexahydro-1H-azepin-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:590812 CAPLUS
DN 139:133836
TI Preparation of 4-aminoazepan-3-ones as protease inhibitors
IN Marquis, Robert Wells; Ru, Yu; Veber, Daniel Frank; Cummings, Maxwell David; Thompson, Scott Kevin; Yamashita, Dennis Shinji
PA Smithkline Beecham Corporation, USA
SO U.S. Pat. Appl. Publ., 126 pp., Cont.-in-part of U.S. Ser. No. 593,845, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003144175	A1	20030731	US 2001-881334	20010614
	WO 2000038687	A1	20000706	WO 1999-US30730	19991221
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1384713	A1	20040128	EP 2003-76211	19991221
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	WO 2002017924	A1	20020307	WO 2001-US27178	20010831
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 200186983	A	20020313	AU 2001-86983	20010831
	EP 1320370	A1	20030625	EP 2001-966474	20010831
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	JP 2004509083	T	20040325	JP 2002-522897	20010831
	US 2004002487	A1	20040101	US 2003-404716	20030401
	US 2005256104	A1	20051117	US 2005-152745	20050614
PRAI	US 1998-113636P	P	19981223		
	US 1999-164581P	P	19991110		
	WO 1999-US30730	A2	19991221		
	US 2000-593845	B2	20000614		

	EP 1999-963112	A3	19991221
	US 2000-653815	A2	20000901
	US 2001-881334	A2	20010614
	WO 2001-US27178	W	20010831
	US 2003-404716	B1	20030401

OS MARPAT 139:133836

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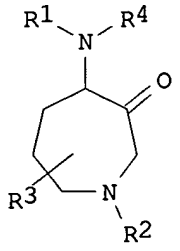

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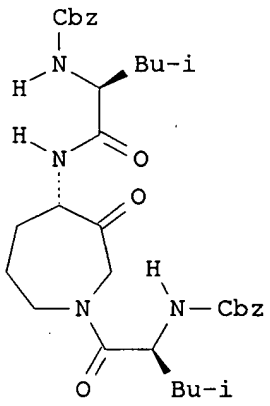


II

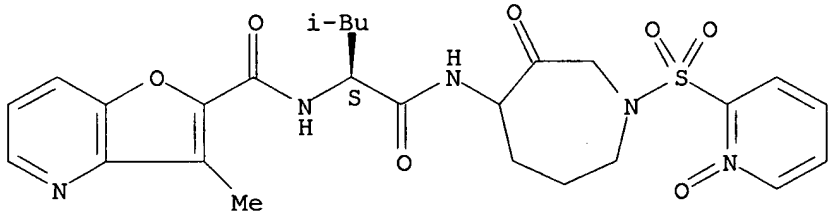
AB Aminoazepanones I [R1 = alkanoyl, amino-, alkoxy-, or alkylthioalkanoyl, etc.; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, (thio)acyl, alkylsulfonyl, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, etc.; R4 = H, alkyl, arylalkyl, etc.] or their pharmaceutically-acceptable salts were prepared as protease inhibitors, including cathepsin K, for treating diseases of excessive bone loss or cartilage or matrix degradation, gingival disease, arthritis, Paget's disease, hypercalcemia of malignancy, and metabolic bone disease. Thus, compound II (Cbz = benzyloxycarbonyl) was prepared by a multistep procedure.

IT 381175-62-8P 381179-74-4P 381179-77-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (acylamino)azepanones as protease inhibitors)

RN 381175-62-8 CAPLUS

CN Furo[3,2-b]pyridine-2-carboxamide, N-[(1S)-1-[[[hexahydro-1-[(1-oxido-2-pyridinyl)sulfonyl]-3-oxo-1H-azepin-4-yl]amino]carbonyl]-3-methylbutyl]-3-methyl- (9CI) (CA INDEX NAME)

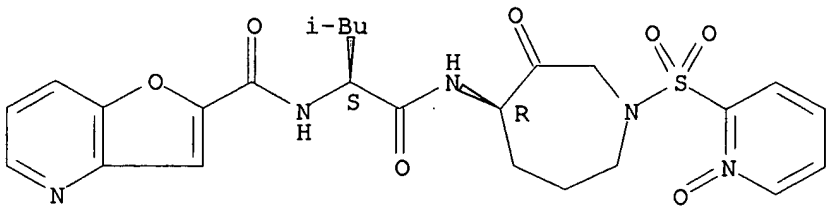
Absolute stereochemistry.



RN 381179-74-4 CAPLUS

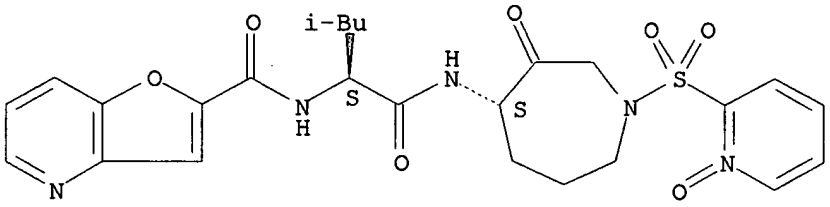
CN Furo[3,2-b]pyridine-2-carboxamide, N-[(1S)-1-[[[(4R)-hexahydro-1-[(1-oxido-2-pyridinyl)sulfonyl]-3-oxo-1H-azepin-4-yl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381179-77-7 CAPLUS
CN Furo[3,2-b]pyridine-2-carboxamide, N-[(1S)-1-[[[(4S)-hexahydro-1-[(1-oxido-2-pyridinyl)sulfonyl]-3-oxo-1H-azepin-4-yl]amino]carbonyl]-3-methylbutyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:923616 CAPLUS
DN 136:53691
TI Preparation of 4-amino-azepan-3-one protease inhibitors
IN Marquis, Robert W., Jr.; Ru, Yu; Veber, Daniel F.; Cummings, Maxwell D.; Thompson, Scott K.; Yamashita, Dennis
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001095911	A1	20011220	WO 2001-US19062	20010614
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2412353	A1	20011220	CA 2001-2412353	20010614
	EP 1307204	A1	20030507	EP 2001-946344	20010614
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	HU 200301231	A2	20030828	HU 2003-1231	20010614
	JP 2004503502	T	20040205	JP 2002-510089	20010614
	BR 2001011693	A	20040406	BR 2001-11693	20010614
	NZ 522965	A	20040625	NZ 2001-522965	20010614
	BG 107327	A	20030731	BG 2002-107327	20021128
	NO 2002005786	A	20030212	NO 2002-5786	20021202

	ZA 2002009808	A	20040709	ZA 2002-9808	20021203
	IN 2002MN01726	A	20050204	IN 2002-MN1726	20021203
PRAI	US 2000-593845	A2	20000614		
	WO 2001-US19062	W	20010614		

OS MARPAT 136:53691

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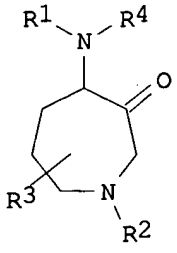

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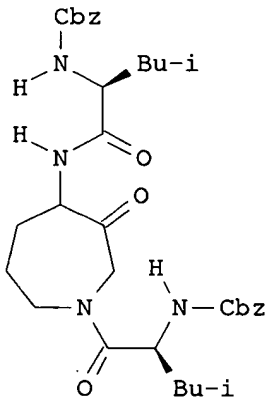


II

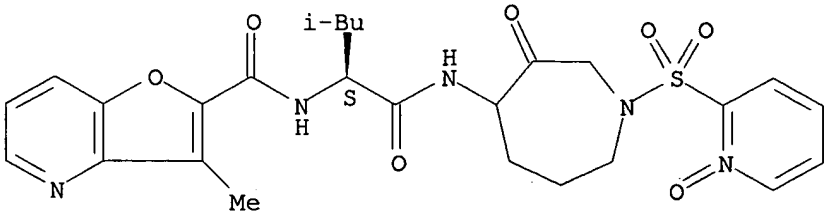
AB The title compds. [I; R1 = COCR13NR11R12, COCR13XR15, COCH2R13; R2 = H, alkyl, cycloalkylalkyl, etc.; R3 = H, alkyl, cycloalkylalkyl, etc.; R4 = H, alkyl, arylalkyl, etc.; R11 = H, alkyl, arylalkyl, etc.; R12 = H, alkyl, cycloalkyl, etc.; R13 = H, alkyl, alkenyl, etc.; R15 = H, alkyl, alkenyl, etc.] which inhibit proteases (no data), including cathepsin K, and are useful for treating diseases of excessive bone loss or cartilage or matrix degradation including osteoporosis, gingival disease including gingivitis and periodontitis, arthritis, more specifically, osteoarthritis and rheumatoid arthritis, Paget's disease, hypercalcemia of malignancy, and metabolic bone disease, were prepared E.g., a multi-step synthesis of compound II was given.

IT 381175-62-8P 381179-74-4P 381179-77-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-amino-azepan-3-one protease inhibitors)

RN 381175-62-8 CAPLUS

CN Furo[3,2-b]pyridine-2-carboxamide, N-[(1S)-1-[[[hexahydro-1-[(1-oxido-2-pyridinyl)sulfonyl]-3-oxo-1H-azepin-4-yl]amino]carbonyl]-3-methylbutyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

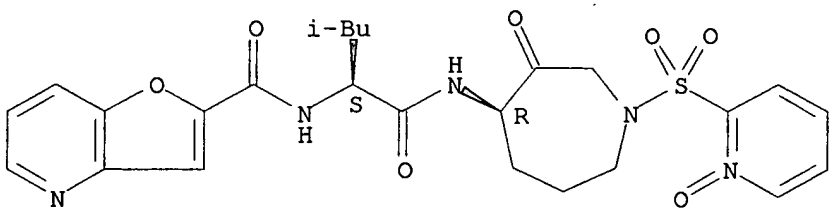


RN 381179-74-4 CAPLUS

CN Furo[3,2-b]pyridine-2-carboxamide, N-[(1S)-1-[[[(4R)-hexahydro-1-[(1-oxido-

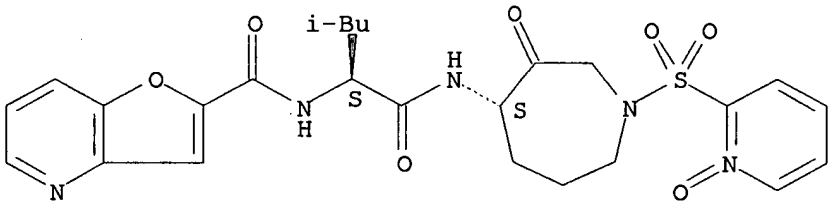
2-pyridinyl)sulfonyl]-3-oxo-1H-azepin-4-yl]amino]carbonyl]-3-methylbutyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381179-77-7 CAPLUS
CN Furo[3,2-b]pyridine-2-carboxamide, N-[(1S)-1-[[[(4S)-hexahydro-1-[(1-oxido-
2-pyridinyl)sulfonyl]-3-oxo-1H-azepin-4-yl]amino]carbonyl]-3-methylbutyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:868156 CAPLUS
DN 136:6349
TI Preparation of 4-aminoazepan-3-one derivatives as protease inhibitors
IN Cummings, Maxwell D.; Marquis, Robert W., Jr.; Ru, Yu; Thompson, Scott K.;
Veber, Daniel F.; Yamashita, Dennis S.
PA SmithKline Beecham Corporation, USA
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001089451	A2	20011129	WO 2001-US12326	20010417
	WO 2001089451	A3	20020404		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2406829	A1	20011129	CA 2001-2406829	20010417
	AU 200190507	A	20011203	AU 2001-90507	20010417
	EP 1278502	A2	20030129	EP 2001-970508	20010417
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				